

2-acyl indol derivatives and their use as anti-tumour agents.

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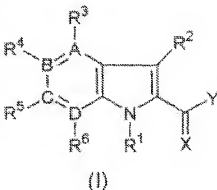
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The use of 2-acyl-indole derivatives (including aza analogs) (I) as antitumor agents is new. Most compounds (I) are new. The use of indole derivatives of formula (I) (including their stereoisomers, tautomers, mixtures and salts) is claimed in the preparation of medicaments for treating tumor diseases; R¹ = H, alkylcarbonyl, alkyl, alkylamino-T-, dialkylamino-T- (where the dialkylamino group may form a ring, optionally containing one or more NH, N(alkyl), O or S members), arylalkyl or arylalkoxyalkyl; T = 1-4C alkyl; R² = H, halo, CN or NO₂, alkyl or alkoxy (both optionally substituted (os) by one or more halo), or alkynyl, alkynyl, cycloalkyl, alkoxy,

alkoxycarbonyloxy, alkylcarbonyloxy, ST, SOT, SOZT, alkoxoalkyl, NH₂, alkylamino, dialkylamino (possibly forming a ring as in R¹), aryl, aryloxy, aryl-T-, aryl-T-O-T-, alkylcarbonyl, alkoxycarbonyl or OH; A¹-A⁴ = C-R³ or N; R³ = H, halo, CN or NO₂, alkyl or alkoxy (both os by one or more halo), or alkynyl, alkynyl, cycloalkyl, alkoxy, 1-6C alkylendioxy, alkoxycarbonyloxy, alkylcarbonyloxy, ST, SOT, SOZT, COOH, alkoxycarbonyl, CONH₂, CONHT, CON(T)₂, alkoxoalkyl, NH₂, alkylamino, dialkylamino (possibly forming a ring as in R¹), aryl, aryloxy, aryl-T-, aryl-T-O-T-, alkylcarbonyl or OH, or two adjacent R³ groups may be bonded, specifically as 1-6C alkylendioxy; Y = aryl (preferably phenyl or naphthyl), 1-3C heteroaryl (containing 1-4 of N, NH, N(alkyl), O and/or S as ring members) or cycloalkyl, all os by one or more Q; Q = halo, CN, cyanoalkyl, OH, mono- or polyhydroxyalkyl, COOH, alkoxycarbonyl, CONH₂, alkylcarbonyl, CON(T)₂, NO₂, alkyl or alkoxy (both os by one or more halo), alkynyl, alkynyl, cycloalkyl, SH, alkylthio, alkylsulfinyl, alkylsulfonyl, alkoxoalkyl, NH₂, alkylamino, dialkylamino (possibly forming a ring as in R¹), aryl, aryloxy, arylalkyl, arylalkoxyalkyl, alkylcarbonyl, alkoxycarbonyl, alkylcarbonyloxy, mono- or dialkylcarbonylamino, mono- or dialkoxycarbonylamino, N-alkylcarbonyl-N-alkylamino, N-alkoxycarbonyl-N-alkylamino, NHCHO or CHO; or two adjacent Q groups may be bonded, specifically as 1-6C alkylendioxy, and X = O, S, NH or (H, OH), unless specified otherwise, alkyl moieties have 1-6C, alkynyl or alkynyl moieties 2-6C, cycloalkyl moieties 3-8C and aryl moieties 6-14C; Independent claims are included for the following: (a) new (I) (including their stereoisomers, tautomers, mixtures and salts), with the exception of (i: R¹, R² = H; A¹, A³, A⁴ = CH₃; X = O or (if A² = CH) CH(OH); Y = 3-carboxy-pyridin-4-yl; A² = CH or C(OMe)); 2-cyclopropylcarbonyl-indole and 2-cyclohexylcarbonyl-indole; and (b) preparation of the new compounds (I).



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